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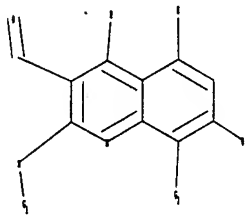

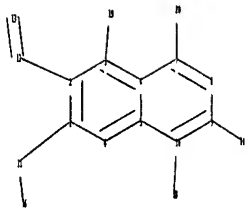

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11 12 13 14 16 18 19 20
ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
1-11 2-12 3-19 7-20 9-14 10-18 11-16 12-13
ring bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10

exact/norm bonds :
1-11 9-14 10-18 11-16 12-13
exact bonds :
2-12 3-19 7-20
normalized bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10

G1:H,Ak

G2:H,X

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:CLASS 13:CLASS 14:CLASS 16:CLASS 18:CLASS 19:CLASS 20:CLASS

L1 STRUCTURE UPLOADED

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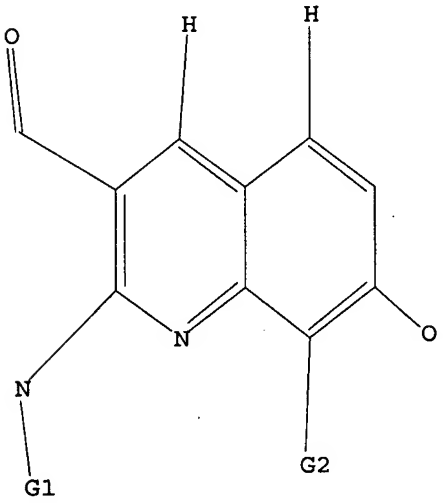
L1 HAS NO ANSWERS
L1 STR



G1 H,Ak
G2 H,X

Structure attributes must be viewed using STN Express query preparation.

=> s l1 full
L3 208 SEA SSS FUL L1

=> file ca

=> s l3
L4 23 L3

=> d ibib abs fhitstr 1-23

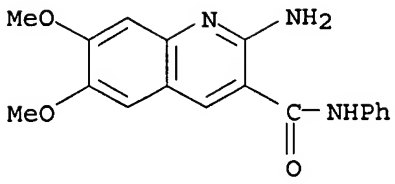
L4 ANSWER 1 OF 23 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 143:222463 CA
TITLE: Compounds that inhibit HIV particle formation and Rev protein-dependent HIV production and screening methods
INVENTOR(S): Rekosh, David; Hammarskjold, Marie-Louis
PATENT ASSIGNEE(S): University of Virginia Patent Foundation, USA
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005076861	A2	20050825	WO 2005-US3165		20050201
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2555132	A1	20050825	CA 2005-2555132		20050201
PRIORITY APPLN. INFO.:			US 2004-541632P	P	20040204
			US 2004-569354P	P	20040507
			US 2004-574909P	P	20040527
			US 2004-583173P	P	20040625
			WO 2005-US3165	W	20050201

AB The present invention describes novel methods of identifying compds. which inhibit HIV particle formation and Rev-dependent HIV production The present invention also provides methods and compds. for inhibiting HIV particle formation and or treating patients infected with HIV. Two cell lines were derived from COS cells to determine anti-Rev activity, Rev-dependent 5BD.1 cells and Rev-independent 2A.22 cells. These cell lines constitutively expressed HIV-like particles that contain the HIV core proteins as well as HIV envelope protein. The non-infectious virions created by these cell are secreted into the media, where a simple p24 ELISA can quant. determine virion production Approx. 40,000 compds. were screened and 192 compds. were identified. The identified compds. were subjected to dose response assays and toxicity assays and 8 compds. were chosen. The eight chosen compds. were tested in a dual luciferase assay for specific inhibition of HIV-1 Rev.

IT 405277-62-5
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(lead compound analog, assay of; compds. that inhibit HIV particle formation and Rev protein-dependent HIV production and screening methods)

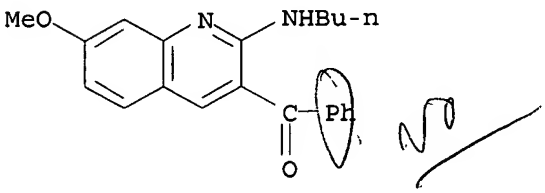
RN 405277-62-5 CA
CN 3-Quinolinecarboxamide, 2-amino-6,7-dimethoxy-N-phenyl- (CA INDEX NAME)


MeO
N
NH2
MeO
C— NHPh
O

L4 ANSWER 2 OF 23 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 141:243319 CA
TITLE: Polarized ketene dithioacetals as versatile building blocks for S-containing heterocycles: A new quinoline synthesis
AUTHOR(S): Ila, H.
CORPORATE SOURCE: Dep. Chem., Indian Inst. Technol., Kanpur, 208016, India
SOURCE: Kislorod- i Serusoderzhashchie Geterotsikly, [Trudy Mezhdunarodnoi Konferentsii "Khimiya i Biologicheskaya Aktivnost Kislorod- i Serusoderzhashchikh Geterotsiklov"], 2nd, Moscow, Russian Federation, Oct. 14-17, 2003 (2003), Volume 1, 246-254. Editor(s): Kartsev, Viktor G. IBS Press: Moscow, Russia. CODEN: 69EZN9; ISBN: 5-902545-01-3
DOCUMENT TYPE: Conference
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:243319

AB In this lecture, the author has developed a simple, highly efficient and regioselective synthesis of functionalized 2-methylthio-3-substituted quinolines through Vilsmeier cyclization of a variety of α-oxoketene-N,5-acetals. The 2-methylthio functionality in these quinolines has been further manipulated to afford either 2-alkylarylamino quinolines, pyrazolo[3,4-b]quinolines and benzothiopyrano[b]quinolines through ring annelation with hydrazine hydrate or via radical cyclization.

IT 536973-31-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of methylthio-substituted quinolines via Vilsmeier cyclization of α-oxoketene acetals)
RN 536973-31-6 CA
CN Methanone, [2-(butylamino)-7-methoxy-3-quinolinyl]phenyl- (CA INDEX NAME)



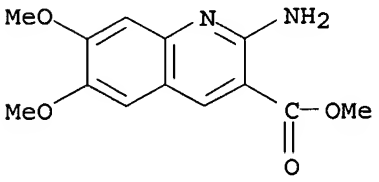
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 23 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 140:406718 CA
TITLE: A facile one-pot synthesis of 2-substituted-3-aminoquinolines: preparation of benzo[b]naphthyridine-3-carbonitriles
AUTHOR(S): Wang, Yanong D.; Boschelli, Diane H.; Johnson, Steven; Honores, Erick
CORPORATE SOURCE: Chemical and Screening Sciences, Wyeth Research, Pearl River, NY, 10965, USA
SOURCE: Tetrahedron (2004), 60(13), 2937-2942
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:406718

AB A facile one-pot synthesis of 3-aminoquinolines from ortho-aminobenzaldehydes was developed. Et 6,7-dimethoxy-3-aminoquinoline-2-carboxylate, a key intermediate for the preparation of a 4-anilino-benzo[b][1,5]-naphthyridine-3-carbonitrile, was efficiently prepared by this method. Synthetic routes to 4-anilino-benzo[b][1,5]-naphthyridine-3-carbonitrile and 4-anilino-benzo[b][1,8]-naphthyridine-3-carbonitrile are described.

IT 348618-70-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(one-pot synthesis of 2-substituted-3-aminoquinolines for use as synthons toward the preparation of benzo[b]naphthyridine-3-carbonitriles)

RN 348618-70-2 CA
CN 3-Quinolinecarboxylic acid, 2-amino-6,7-dimethoxy-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 23 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 140:375083 CA
TITLE: Preparation of quinoline-3-carboxylic acids as YAK3 inhibitors
INVENTOR(S): Burgess, Joelle L.; Callahan, John F.; Hamajima, Toshihiro; Ida, Satoru; Tang, Jun; Mori, Ichiro
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004034985	A2	20040429	WO 2003-US32625	20031015
WO 2004034985	A3	20040910		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003284217	A1	20040504	AU 2003-284217	20031015
EP 1556379	A2	20050727	EP 2003-776396	20031015
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006503094	T	20060126	JP 2004-545302	20031015
US 2006106058	A1	20060518	US 2005-530986	20050412
PRIORITY APPLN. INFO.:			US 2002-418915P	P 20021016
			WO 2003-US32625	W 20031015

OTHER SOURCE(S): MARPAT 140:375083
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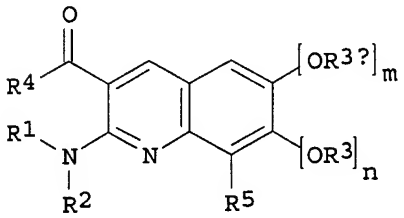

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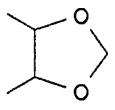

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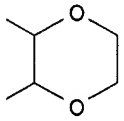


III

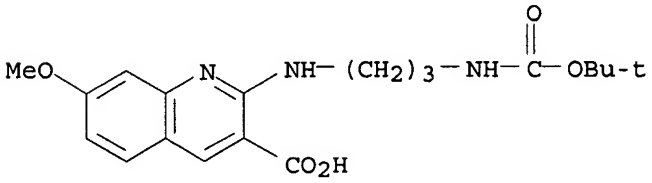
AB The title 3-carboxy quinoline derivs. [I; R1 = H, alkyl; R2 = (Q)q(Q1)rQ2 (wherein Q = CH2; q = 0-4; Q1 = O, NH, CH(OH); r = 0-1; Q2 = H, alkyl, aryl, etc.); R3, R3a = H, alkyl, hydroxyalkyl; m, n = 0-1; or m = 1 and n = 1 and R3 and R3a together with the atoms to which they are attached form (un)substituted fused ring II, III; R4 = OH, NHSO2Rc, NRbR; R5 = H, halo; R = H, aryl, ORb; Rb = H, alkyl, alkenyl; Rc = aryl, alkyl] which are useful as YAK3 inhibitors, were prepared Thus, reacting 2-chloro-7-methoxyquinoline-3-carboxylic acid with tert-Bu (3-aminopropyl)carbamate followed by Boc-group removal afforded

2-(3-aminopropylamino)-7-methoxyquinoline-3-carboxylic which showed pIC50 of 6.0 in hYAK3 assay. The invention also includes methods of making the compds. I as well as methods of using the same in the treatment of diseases mediated by inappropriate YAK3 activity. The pharmaceutical composition comprising the compound I is claimed.

IT 683749-55-5P, 2-((3-tert-Butoxycarbonylaminopropyl)amino)-7-methoxyquinoline-3-carboxylic acid
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of quinoline-3-carboxylic acids as YAK3 inhibitors)

RN 683749-55-5 CA

CN 3-Quinolinecarboxylic acid, 2-[[3-[[(1,1-dimethylethoxy)carbonyl]amino]propyl]amino]-7-methoxy- (CA INDEX NAME)

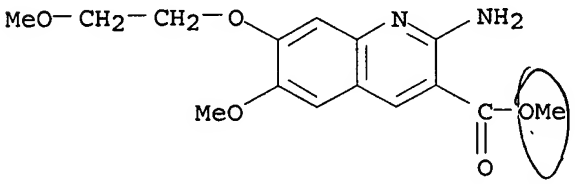


L4 ANSWER 5 OF 23 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 139:285650 CA
TITLE: Inhibition of Src kinase activity by 4-anilino-5,10-dihydro-pyrimido[4,5-b]quinolines
AUTHOR(S): Boschelli, Diane H.; Powell, Dennis; Golas, Jennifer M.; Boschelli, Frank
CORPORATE SOURCE: Chemical and Screening Sciences, Wyeth Research, Pearl River, NY, 10965, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(18), 2977-2980
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:285650

AB 4-(2,4-Dichloro-5-methoxy)anilino-5,10-dihydropyrimido[4,5-b]quinolines are potent inhibitors of Src kinase and Src cellular activity while having no effect on Fyn cellular activity. The corresponding 4-(2,4-dichloro-5-methoxy)anilino-pyrimido[4,5-b]quinolines are much less effective Src inhibitors.

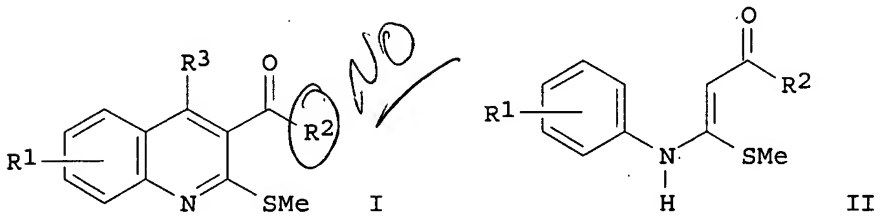
IT 609343-56-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and Src kinase-inhibiting activity of 4-anilino-5,10-dihydro-pyrimido[4,5-b]quinolines)

RN 609343-56-8 CA
CN 3-Quinolinecarboxylic acid, 2-amino-6-methoxy-7-(2-methoxyethoxy)-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

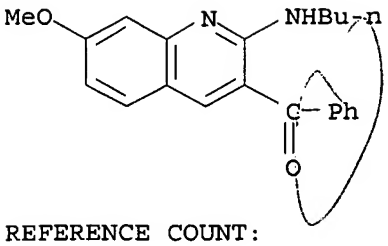
L4 ANSWER 6 OF 23 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 139:22099 CA
TITLE: Reaction of α-Oxoketene-N,S-arylaminoacetals with Vilsmeier Reagents: An Efficient Route to Highly Functionalized Quinolines and Their Benzo/Hetero-Fused Analogues
AUTHOR(S): Mahata, P. K.; Venkatesh, C.; Kumar, U. K. Syam; Ila, H.; Junjappa, H.
CORPORATE SOURCE: Department of Chemistry, Indian Institute of Technology, Kanpur, 208016, India
SOURCE: Journal of Organic Chemistry (2003), 68(10), 3966-3975
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:22099
GI



AB A simple, highly efficient, and regioselective synthesis of functionalized quinolines I [R1 = H, 7-MeO, 7-F, 6,7-(MeO)2, etc.; R2 = Ph, 2-BrC6H4, (MeO)2CH; R3 = H, Me] via Vilsmeier cyclization of a variety of α-oxoketene-N,S-aminoacetals II was reported. The cyclization is facile with N,S-acetals bearing strongly activating groups on aniline aromatic ring, whereas in other cases the yields of quinolines are moderate. The reaction could be extended to the synthesis of substituted tricyclic benzo[h]quinoline, pyrido[2,3-h]quinoline, 4,7-diphenylphenanthroline, and tetracyclic quino[8,7-h]quinoline by performing a Vilsmeier reaction on N,S-acetals derived from 1-naphthylamine, m-phenylenediamine, o-phenylenediamine, and 1,5-diaminonaphthalene, resp. Some quinolines I were subjected to further transformations, such as reduction with Raney-Ni in EtOH, oxidation with N-bromosuccinimide or sequential m-CPBA oxidation/amine substitution, to afford 2-unsubstituted quinolines, quinoline-5,8-quinones, or 2-alkyl/aryl aminoquinolines, resp. Similarly, cycloannulation of 2-methylthio-3-benzoylquinolines I (R2 = Ph) with hydrazine hydrate under microwave irradiation afforded the corresponding substituted and fused pyrazolo[3,4-b]quinolines in excellent yields, whereas intramol. radical cyclization of I (R2 = 2-BrC6H4) yielded the corresponding benzothiopyrano-fused quinolines.

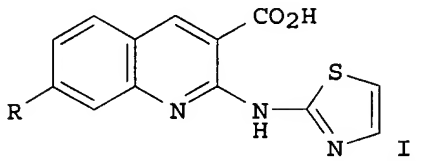
IT 536973-31-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of functionalized quinolines and their benzo/hetero-fused analogs via benzannulation of α-oxoketene-N,S-arylaminoacetals with Vilsmeier reagents)

RN 536973-31-6 CA
CN Methanone, [2-(butylamino)-7-methoxy-3-quinolinyl]phenyl- (CA INDEX NAME)



REFERENCE COUNT: 82 THERE ARE 82 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 23 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 138:338091 CA
TITLE: Synthesis of some novel quinoline-3-carboxylic acids and pyrimidoquinoline derivatives as potential antimicrobial agents
AUTHOR(S): El-Sayed, Ola A.; Al-Bassam, Badr A.; Hussein, Maher E.
CORPORATE SOURCE: Pharmaceutical Chemistry Department, Faculty of Pharmacy, University of Alexandria, Alexandria, 21215, Egypt
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (2002), 335(9), 403-410
CODEN: ARPMAS; ISSN: 0365-6233
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:338091
GI



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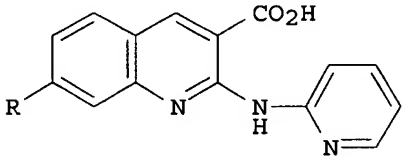

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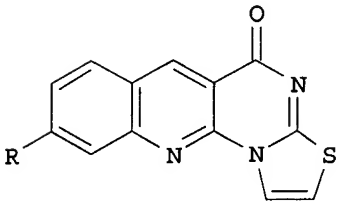

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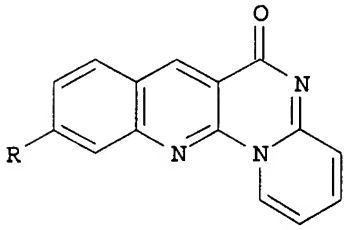
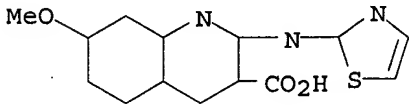


IV

AB The synthesis and in vitro antimicrobial evaluation of several quinoline and pyrimidoquinoline derivs. are described. Treatment of 7-substituted 2-oxo-3-quinolinecarboxylic acids with phosphoryl chloride or thionyl chloride gave rise to the 7-substituted 2-chloro-3-quinolinecarboxylic acids and 7-substituted 2-chloro-3-quinolinecarbonyl chlorides, resp. Reaction of 2-chloro-3-quinolinecarboxylic acids with 2-thiazolamine or 2-pyridinamine gave 2-[(2-thiazolyl)amino]-3-quinolinecarboxylic acids and 2-[(2-pyridinyl)amino]-3-quinolinecarboxylic acid, resp. Treatment of 2-chloro-3-quinolinecarbonyl chlorides the same heterocyclic amines at room temperature furnished the corresponding 2-chloro-N-(2-thiazolyl)-3-quinolinecarboxamides I (R = H, Me, OMe) and 2-chloro-N-(2-pyridinyl)-3-quinolinecarboxamides II (R = H, Me, OMe). The tetracyclic 9-substituted thiazolo[3',2':1,2]-pyrimido[4,5-b]quinolin-5-ones III (R = H, Me, OMe) and 10-substituted pyrido[1',2':1,2]pyrimido[4,5-b]quinolin-6-ones IV (R = H, Me, OMe) were synthesized by heating 2-chloro-3-quinolinecarbonyl chlorides with the heterocyclic amines in toluene or by heating I or II under reflux in DMF. The products were evaluated in vitro for potential antimicrobial activity.

IT 517917-85-0P, 7-Methoxy-2-[(2-Thiazolyl)amino]-3-

quinolinecarboxylic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of quinolinecarboxylic acids and pyrimidoquinoline derivs. and their activity as antimicrobial agents)
RN 517917-85-0 CA
CN 3-Quinolinecarboxylic acid, 7-methoxy-2-(2-thiazolylamino)- (CA INDEX NAME)



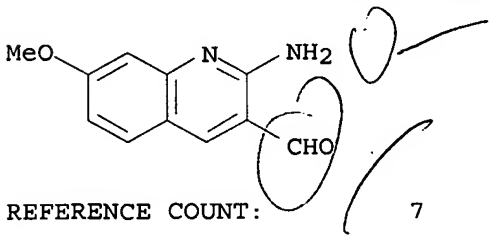
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 23 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 138:24657 CA
TITLE: Synthesis of cyclopenta[b]benzo[g][1,8]naphthyridines
AUTHOR(S): Prakash, G. Arul; Kumar, N. Sampath; Rajendran, S. P.
CORPORATE SOURCE: Department of Chemistry, Bharathiar University, Coimbatore, 641 046, India
SOURCE: Asian Journal of Chemistry (2002), 14(3-4), 1303-1306
CODEN: AJCHEW; ISSN: 0970-7077
PUBLISHER: Asian Journal of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:24657

AB 2-Chloro-3-formylquinoline and its derivs. were prepared and aminated by dry ammonia gas in ethanol. The 2-amino-3-formylquinolines so obtained were then condensed with cyclopentanone in presence of acetic acid and sulfuric acid to give benzo[g]cyclopenta[b][1,8]naphthyridines.

IT 264135-40-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn.of cyclopenta[b]benzo[g][1,8]naphthyridines by condensation of 2-amino-3-formylquinolines with cyclopentanone)

RN 264135-40-2 CA
CN 3-Quinolinecarboxaldehyde, 2-amino-7-methoxy- (CA INDEX NAME)

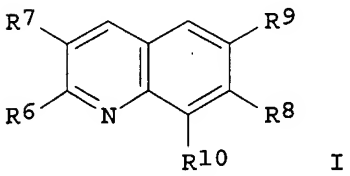


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 23 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 137:310824 CA
TITLE: Preparation of quinoline inhibitors of hYAK1 and hYAK3 kinases
INVENTOR(S): Bryan, Deborah L.; Burgess, Joelle L.; Callahan, James F.
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002081728	A2	20021017	WO 2002-US10657	20020404
WO 2002081728	A3	20021121		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002256085	A1	20021021	AU 2002-256085	20020404
EP 1372654	A2	20040102	EP 2002-725526	20020404
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004526756	T	20040902	JP 2002-580090	20020404
US 2005043352	A1	20050224	US 2003-474084	20031006
US 7087758	B2	20060808		
PRIORITY APPLN. INFO.:			US 2001-282229P P	20010406
			WO 2002-US10657 W	20020404

OTHER SOURCE(S): MARPAT 137:310824
GI



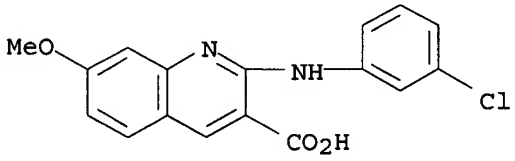
I

AB The title compds. [I; R6 = NHalkyl, NHcycloalkyl, NHaryl, etc.; R7 = CO2H, CONH2, CHNOH, etc.; R8 = H, OH, alkyl, etc.; R9 = H, alkyl, cycloalkyl, etc.; R8 and R9 can form a 5-7 membered ring comprising heteroatoms selected from O, N, and S; R10 = H, halo], useful in the treatment of diseases in which an excessive amount of either hYAK1 and hYAK3 kinases is a factor, were prepared Thus, reacting 2-chloro-7-methoxyquinoline-3-carboxylic acid with 3-chloroaniline in xylene afforded I [R6 = 3-ClC6H4NH; R7 = CO2H; R8 = OMe; R9, R10 = H]. The compds. I showed IC50 of 0.01-10 μM, and 0.03-10 μM against hYAK1 and hYAK3, resp.
IT 470701-99-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic

preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of quinoline inhibitors of hYAK1 and hYAK3 kinases for treating anemia)

RN 470701-99-6 CA

CN 3-Quinolinecarboxylic acid, 2-[(3-chlorophenyl)amino]-7-methoxy- (CA INDEX NAME)

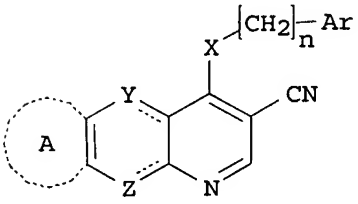


L4 ANSWER 10 OF 23 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 136:37618 CA
TITLE: Preparation of substituted aromatic tricyclic compounds containing nicotinonitrile rings as protein kinase inhibitors
INVENTOR(S): Berger, Dan M.; Dutia, Minu D.; Demorin, Frenel F.; Boschelli, Diane H.; Powell, Dennis W.; Tsou, Hwei-ru; Wissner, Allan; Zhang, Nan; Ye, Fei; Wu, Biqi
PATENT ASSIGNEE(S): American Home Products Corporation, USA; Wyeth
SOURCE: U.S. Pat. Appl. Publ., 107 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2001051620	A1	20011213	US 2000-751274	20001229
US 6638929	B2	20031028		
US 2004110762	A1	20040610	US 2003-618044	20030710
US 7105531	B2	20060912		
US 2006247217	A1	20061102	US 2006-478121	20060629

PRIORITY APPLN. INFO.: US 1999-240905P P 19991229
US 2000-751274 A3 20001229
US 2003-618044 A3 20030710

OTHER SOURCE(S): MARPAT 136:37618
GI



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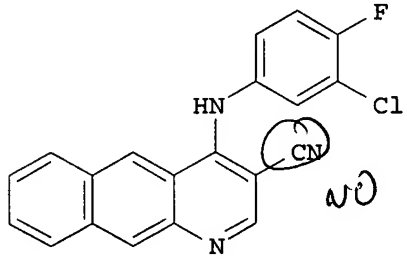
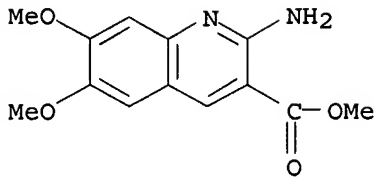


II

AB The title compds. I [Ar = (un)substituted cycloalkyl, pyridyl, pyrimidinyl, etc.; n = 0-1; X = NH, O, S, NR; R = alkyl; Y, Z = both carbon or N; A = (un)substituted benzo, pyrido, pyrimido, etc.] which are useful as inhibitors of protein tyrosine kinase and are antiproliferative agents, were prepared E.g., a 3-step synthesis of II which showed IC50 of 0.005 μM against EGF-R kinase (recombinant enzyme), was given.

IT 348618-70-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted aromatic tricyclic compds. containing nicotinonitrile
rings as protein kinase inhibitors)

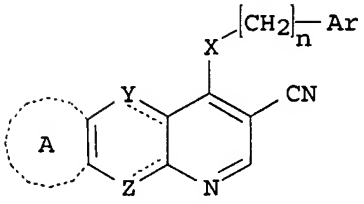
RN 348618-70-2 CA
CN 3-Quinolinecarboxylic acid, 2-amino-6,7-dimethoxy-, methyl ester (CA INDEX NAME)


MeO
N
NH2
MeO
C— OMe
O

L4 ANSWER 11 OF 23 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 135:92639 CA
TITLE: Preparation of substituted aromatic tricyclic compounds containing nicotinonitrile rings as protein kinase inhibitors
INVENTOR(S): Berger, Dan M.; Dutia, Minu D.; Demorin, Frenel F.; Boschelli, Diane H.; Powell, Dennis W.; Tsou, Hwei-ru; Wissner, Allan; Zhang, Nan; Ye, Fei; Wu, Biqi
PATENT ASSIGNEE(S): American Home Products Corp., USA
SOURCE: PCT Int. Appl., 377 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047892	A1	20010705	WO 2000-US35616	20001229
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2396579	A1	20010705	CA 2000-2396579	20001229
EP 1242382	A1	20020925	EP 2000-988437	20001229
EP 1242382	B1	20070207		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2000016878	A	20021008	BR 2000-16878	20001229
JP 2003519127	T	20030617	JP 2001-549364	20001229
CN 1437584	A	20030820	CN 2000-819209	20001229
CN 1704404	A	20051207	CN 2005-10082252	20001229
AT 353320	T	20070215	AT 2000-988437	20001229
ES 2281372	T3	20071001	ES 2000-988437	20001229
MX 2002PA06086	A	20040823	MX 2002-PA6086	20020619
PRIORITY APPLN. INFO.:			US 1999-473600 A	19991229
			CN 2000-819209 A3	20001229
			WO 2000-US35616 W	20001229

OTHER SOURCE(S): MARPAT 135:92639
GI



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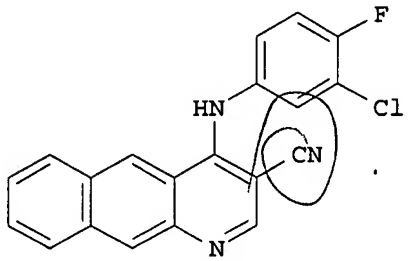


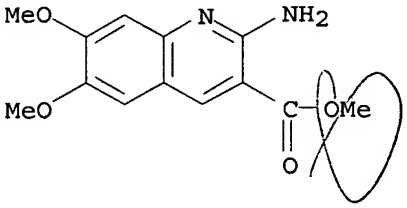
II

AB The title compds. I [Ar = (un)substituted cycloalkyl, pyridyl, pyrimidinyl, etc.; n = 0-1; X = NH, O, S, NR; R = alkyl; Y, Z = both carbon or N; A = (un)substituted benzo, pyrido, pyrimido, etc.] which are useful as inhibitors of protein tyrosine kinase and are antiproliferative agents, were prepared E.g., a 3-step synthesis of II which showed IC50 of 0.005 μM against EGF-R kinase (recombinant enzyme), was given.

IT 348618-70-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted aromatic tricyclic compds. containing nicotinonitrile rings as protein kinase inhibitors)

RN 348618-70-2 CA

CN 3-Quinolinecarboxylic acid, 2-amino-6,7-dimethoxy-, methyl ester (CA INDEX NAME)



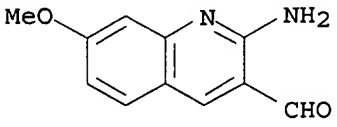
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 23 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 132:279125 CA
TITLE: Synthesis of 1,2,3,4-tetrahydrodibenzo[b,g][1,8]naphthyridines
AUTHOR(S): Prakash, G. Arul; Rajendran, S. P.
CORPORATE SOURCE: Department of Chemistry, Bharathiar University, Coimbatore, 641 046, India
SOURCE: Heterocyclic Communications (2000), 6(1), 63-66
CODEN: HCOMEX; ISSN: 0793-0283
PUBLISHER: Freund Publishing House Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB 2-Chloro-3-formylquinoline and its derivs. were prepared and aminated by dry ammonia gas in ethanol. The 2-amino-3-formylquinolines so obtained were then condensed with cyclohexanone in presence of acetic acid and sulfuric acid to give 1,2,3,4-tetrahydrodibenzo[b,g][1,8]naphthyridines.

IT 264135-40-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tetrahydrodibenzonaphthyridines)

RN 264135-40-2 CA
CN 3-Quinolinecarboxaldehyde, 2-amino-7-methoxy- (CA INDEX NAME)

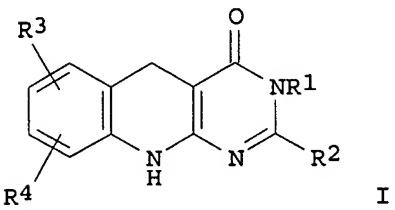


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 23 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 125:300981 CA
TITLE: Preparation of 5,10-dihydropyrimido[4,5-b]quinolin-4(1H)-ones as tyrosine kinase inhibitors
INVENTOR(S): Dow, Robert L.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9628444	A1	19960919	WO 1995-IB172	19950315
W: CA, FI, JP, MX, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5908930	A	19990601	US 1997-894587	19970822
PRIORITY APPLN. INFO.:			WO 1995-IB172 W	19950315

OTHER SOURCE(S): MARPAT 125:300981
GI

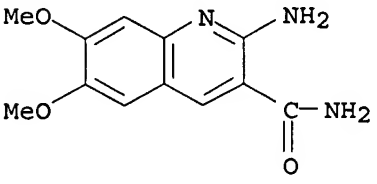


I

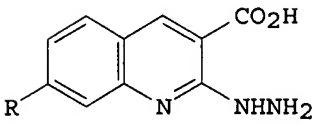
AB Title compds. [I; R1 = H, (un)substituted alkyl; R2 = H, alkyl, alkoxycarbonyl, etc.; R3,R4 = H, (halo)alkyl, alkoxy, etc.] were prepared tyrosine kinase inhibitors (no data). Thus, 7,8-dimethoxy-4-oxo-3,4,5,10-tetrahydropyrimido[4,5-b]quinoline was treated with (MeO)2SO2/NaOH to give 5,10-dihydro-7,8-dimethoxy-3-methylpyrimido[4,5-b]quinolin-4(1H)-one.

IT 55149-43-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 5,10-dihydropyrimido[4,5-b]quinolin-4(1H)-ones as tyrosine kinase inhibitors)

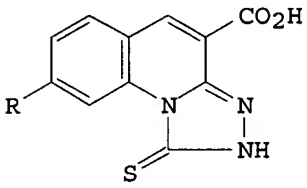
RN 55149-43-4 CA
CN 3-Quinolinecarboxamide, 2-amino-6,7-dimethoxy- (CA INDEX NAME)



L4 ANSWER 14 OF 23 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 121:83189 CA
TITLE: Synthesis and antimicrobial evaluation of novel quinoline-3-carboxylic acids and triazolo[4,3-a]quinoline-4-carboxylic acids
AUTHOR(S): El-Sayed, Ola A.; El-Semary, Mona A.; Khalil, Mounir A.
CORPORATE SOURCE: Fac. Pharm., Univ. Alexandria, Alexandria, Egypt
SOURCE: Alexandria Journal of Pharmaceutical Sciences (1993), 7(2), 163-6
CODEN: AJPSES; ISSN: 1110-1792
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

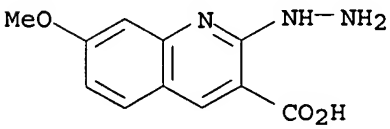


II

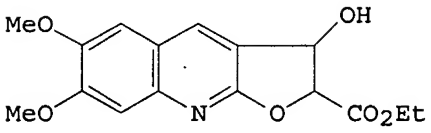
AB 2-Hydrazino-3-quinolinecarboxylic acids (I; R = H, Me, MeO), prepared from 2-chloro-3-quinolinecarboxaldehydes, were converted to triazoloquinolinecarboxylic acids such as II (same R). Several products showed modest bactericidal activity, but none showed fungicidal activity.

IT 155983-23-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reactions of)

RN 155983-23-6 CA
CN 3-Quinolinecarboxylic acid, 2-hydrazino-7-methoxy- (9CI) (CA INDEX NAME)



L4 ANSWER 15 OF 23 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 114:185400 CA
TITLE: Synthesis of some fused quinoline derivatives
AUTHOR(S): Shehata, Ihsan A.
CORPORATE SOURCE: Fac. Pharm., Univ. Mansoura, Mansoura, Egypt
SOURCE: Monatshefte fuer Chemie (1990), 121(12), 1017-21
CODEN: MOCMB7; ISSN: 0026-9247
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 114:185400
GI



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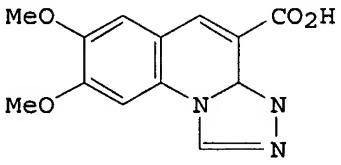
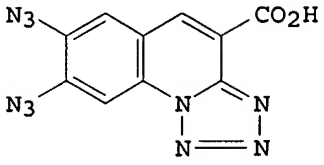


II



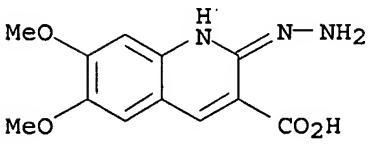
III

AB The furo[2,3-b]quinoline I was prepared from 6,7-dimethoxyquinoline 1-oxide in several steps, while the s-triazolo[4,3-a]quinoline II and tetrazolo[1,5-a]quinoline III were prepared from 6,7-dimethoxy-3-carboxyquinoline 1-oxide (IV) in several steps. Thus, chlorination of IV followed by condensation with hydrazine and cyclocondensation with sodium nitrite gave III.

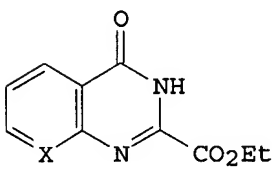
IT 133406-54-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclocondensation of, with formaldehyde, triazoloquinoline from)

RN 133406-54-9 CA

CN 3-Quinolinecarboxylic acid, 2-hydrazino-6,7-dimethoxy- (9CI) (CA INDEX NAME)



L4 ANSWER 16 OF 23 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 93:204581 CA
ORIGINAL REFERENCE NO.: 93:32645a,32648a
TITLE: A facile base catalyzed condensation for the synthesis of fused pyrimidine-2-carboxylic acid esters
AUTHOR(S): Nakanishi, Susumu; Massett, Stephen S.
CORPORATE SOURCE: Cent. Res., Pfizer Inc., Groton, CT, 06340, USA
SOURCE: Organic Preparations and Procedures International (1980), 12(3-4), 219-23
CODEN: OPPIAK; ISSN: 0030-4948
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 93:204581
GI



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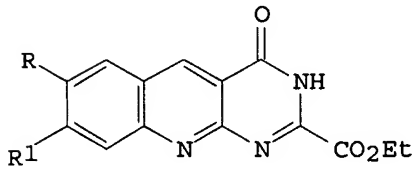
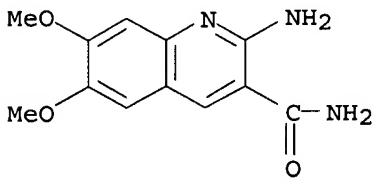


II

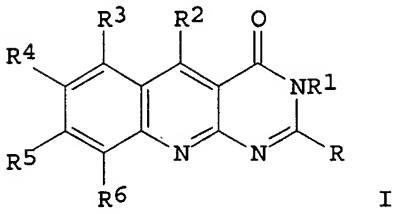
AB The ester I (X = CH) was obtained in 99% yield by condensing 2-H2NC6H4CONH2 with (EtO2C)2 in the presence of NaOEt at 20-5°. I (X = N) was similarly obtained in 90% yield and II (R = R1 = H, OMe; R = Cl, R1 = H) were obtained in 92-9.5% yield. The reaction times were 15 min-48 h at 20-5°.

IT 55149-43-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclocondensation of, with oxalate in presence of sodium ethoxide)

RN 55149-43-4 CA
CN 3-Quinolinecarboxamide, 2-amino-6,7-dimethoxy- (CA INDEX NAME)



L4 ANSWER 17 OF 23 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 92:121570 CA
ORIGINAL REFERENCE NO.: 92:19668h,19669a
TITLE: Structure-activity relationships in a series of novel 3,4-dihydro-4-oxopyrimido[4,5-b]quinoline-2-carboxylic acid antiallergy agents
AUTHOR(S): Althuis, T. H.; Kadin, S. B.; Czuba, L. J.; Moore, P. F.; Hess, H. J.
CORPORATE SOURCE: Cent. Res., Pfizer, Inc., Groton, CT, 06340, USA
SOURCE: Journal of Medicinal Chemistry (1980), 23(3), 262-9
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

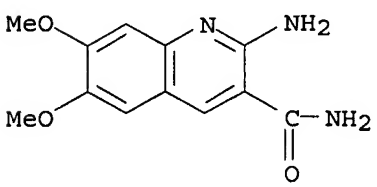


I

AB The title compds. I [R = H, Me, CO2Et, CO2H, CONH2, etc., R1 = H, Me, CH2CO2Et, or (CH2)3 CO2Et; R2 = H or Ph; R3 = H, Cl, or MeO; R4 and R5 = H, F, MeO, etc; R6 = H or MeO] were prepared by condensation of the appropriate aminoquinolinecarboxamide (intermediate) with dialkyl oxalates or alkyl oxamates. The intermediates were prepared by base-catalyzed condensation of o-aminobenzaldehydes with 2-cyanoacetamide [107-91-5] or Knoevenagel condensation of o-nitroaldehydes with cyanoacetamide. The ability of I to interfere with the passive cutaneous anaphylaxis reaction was measured in male rats. Some I had i.v. potencies 100-400 times that of disodium cromoglycate (DSCG), and unlike DSCG which is inactive orally, some I possessed oral activity. Et 7-ethoxy-3,4-dihydro-8-methoxy-4-oxopyrimido[4,5-b]quinoline-2-carboxylate [55149-13-8] and Et 3,4-dihydro-7-hydroxy-8-methoxy-4-oxopyrimido[4,5-b]quinoline-2-carboxylate trifluoroacetate salt [58662-62-7] were the most effective. A CO2H in position 2 afforded optimal activity and esters showed good oral absorption. Structure-activity relations are discussed.

IT 55149-43-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with dialkyloxylates and alkyloxymates)

RN 55149-43-4 CA
CN 3-Quinolinecarboxamide, 2-amino-6,7-dimethoxy- (CA INDEX NAME)

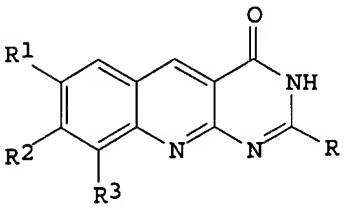


L4 ANSWER 18 OF 23 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 87:168090 CA
ORIGINAL REFERENCE NO.: 87:26571a,26574a
TITLE: Fused pyrimidin-4(3H)-ones as antiallergy agents
INVENTOR(S): Althuis, Thomas H.; Czuba, Leonard J.; Hess, Hans Jurgen E.; Kadin, Saul B.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 31 pp. Division of U.S. 3,974,161.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

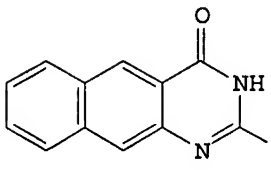
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4044134	A	19770823	US 1976-667515	19760316
SE 7404011	A	19741014	SE 1974-4011	19740325
SE 401185	C	19780803		
SE 401185	B	19780424		
US 3974161	A	19760810	US 1974-485945	19740705
GB 1501438	A	19780215	GB 1975-18583	19750502
IL 47233	A	19800131	IL 1975-47233	19750505
AT 7607580	A	19770715	AT 1976-7580	19761012
AT 7607579	A	19771115	AT 1976-7579	19761012
US 4120962	A	19781017	US 1977-786185	19770411
DK 7703873	A	19770831	DK 1977-3873	19770831
US 4134981	A	19790116	US 1977-845816	19771027

PRIORITY APPLN. INFO.: US 1973-351025 A2 19730413
US 1974-444138 A2 19740220
US 1974-485945 A3 19740705
GB 1973-55900 A 19731203
IL 1974-44569 A 19740404
DK 1974-2009 A 19740410
AT 1974-3151 A 19740416
US 1976-667515 A3 19760316
US 1977-786185 A3 19770411

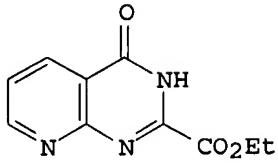
OTHER SOURCE(S): MARPAT 87:168090
GI



I



II



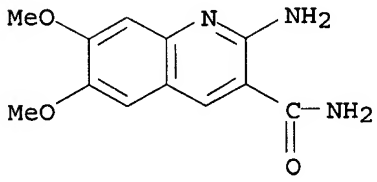
III

AB Pyrimidoquinolonecarboxylates I (R = CO2Et, CO2H, CONH2, CONHOH, Me, Et, Ac, CO2Bu; R1 = H, OMe, OEt, SMe, SOMe, Cl, F, OH, OAc; R2 = H, OMe, OEt, OBu, OC7H7; R3 = H, OMe), benzoquinazolenecarboxylates II (R4 = Et, H), pyridopyrimidinonecarboxylate III etc. were prepared Thus, 2-H2NC6H4CHO was condensed with NCCH2CONH2, and 2-amino-3-quinolinecarboxamide condensed with di-Et oxalate to give I (R = CO2Et, R1-R3 = H), which upon administration 1 mg/kg i.v. to rats gave 100% inhibition of passive cutaneous anaphylaxis.

IT 55149-43-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and condensation of, with diethyl oxalate)

RN 55149-43-4 CA

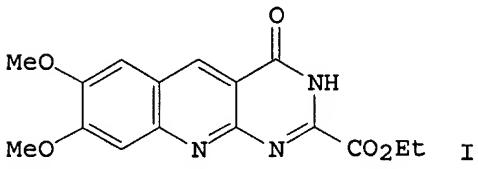
CN 3-Quinolinecarboxamide, 2-amino-6,7-dimethoxy- (CA INDEX NAME)



L4 ANSWER 19 OF 23 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 87:117902 CA
ORIGINAL REFERENCE NO.: 87:18725a,18728a
TITLE: 2-Carboxypyrimido[4,5-b]quinolin-4(3H)-one esters
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: Neth. Appl., 12 pp.
CODEN: NAXXAN
DOCUMENT TYPE: Patent
LANGUAGE: Dutch
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

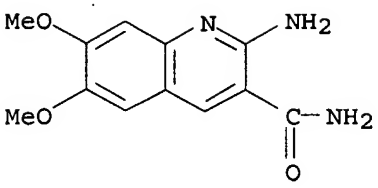
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 7603293	A	19761026	NL 1976-3293	19760330
NL 161155	C	19800115		
NL 161155	B	19790815		
SE 7603133	A	19761025	SE 1976-3133	19760309
CA 1065863	A1	19791106	CA 1976-247583	19760310
DK 7601438	A	19761025	DK 1976-1438	19760330
DK 142323	B	19801013		
DK 142323	C	19810629		
ES 446498	A1	19770616	ES 1976-446498	19760330
SU 638261	A3	19781215	SU 1976-2343061	19760407
CH 609056	A5	19790215	CH 1976-4398	19760407
JP 51127098	A	19761105	JP 1976-41749	19760413
JP 54003880	B	19790227		
AT 351549	B	19790725	AT 1976-2700	19760413
AT 7602700	A	19790115		
FI 7601020	A	19761025	FI 1976-1020	19760414
DD 125208	A5	19770406	DD 1976-192403	19760415
PL 101824	B1	19790228	PL 1976-188875	19760417
RO 68966	A1	19810530	RO 1976-85654	19760417

PRIORITY APPLN. INFO.: US 1975-571318 A 19750424
GI



I

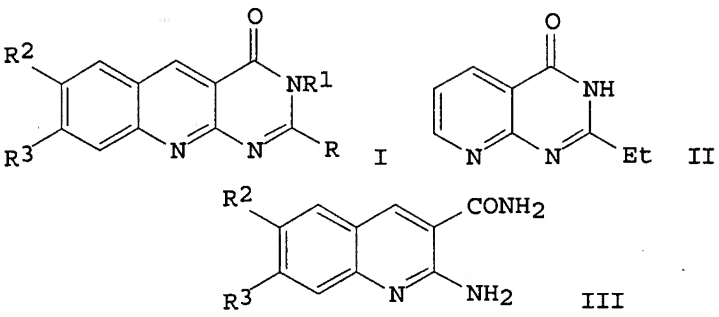
AB The antiallergic (no data) pyrimidoquinolinone I was prepared in 98.8% yield by condensing 2-amino-6,7-dimethoxy-3-quinolinecarboxamide with di-Et oxalate.
IT 55149-43-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with oxalate)
RN 55149-43-4 CA
CN 3-Quinolinecarboxamide, 2-amino-6,7-dimethoxy- (CA INDEX NAME)


MeO
N
NH2
MeO
C
NH2
O

L4 ANSWER 20 OF 23 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 87:85036 CA
ORIGINAL REFERENCE NO.: 87:13535a,13538a
TITLE: Fused pyrimidine derivatives and preparation thereof
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: Brit., 9 pp. Addn. to Brit. 1,458,205.
CODEN: BRXXAA
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1465353	A	19770223	GB 1974-15536	19740408
PRIORITY APPLN. INFO.:			US 1974-444138 A	19740220

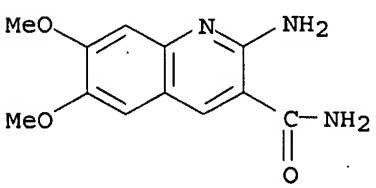
GI



AB Nine pyrimidoquinolines I [R = HO(CH2)2O2C, EtO2C, Et, Ac; R1 = H, Me, EtO2CCH2, EtO2C(CH2)3, AcO(CH2)2; R2 = MeO, MeS, MeSO; R3 = MeO, H] and the pyridopyrimidone II, useful as inhibitors of allergic reactions, especially of allergic bronchial asthma, were prepared I were prepared from Et 7,8-dimethoxy-4-oxo-(3H)-pyrimido[4,5-b]quinoline-2-carboxylate by standard methods or from the quinolines III by cyclocondensation reactions. II was prepared from 2-aminonicotinamide by stirring with concentrated H2SO4 and (EtCO)2O
1 h at 60° followed by treatment with dilute alkali and reacidification. The antiallergy activities of some I on i.v. and oral administration to animals are reported.

IT 55149-43-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclocondensation of, with propionic anhydride)

RN 55149-43-4 CA
CN 3-Quinolinecarboxamide, 2-amino-6,7-dimethoxy- (CA INDEX NAME)



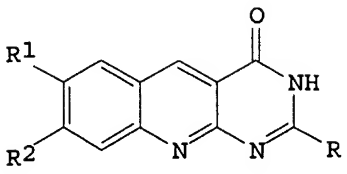
L4 ANSWER 21 OF 23 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 84:121894 CA
ORIGINAL REFERENCE NO.: 84:19797a,19800a
TITLE: Condensed pyridine-4-(3H)-ones
INVENTOR(S): Althuis, Thomas H.; Czuba, Leonard J.; Hess, Hans J. E.; Kadin, Saul B.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: Ger. Offen., 70 pp. Addn. to Ger. Offen. 2,418,498.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2525050	A1	19760122	DE 1975-2525050	19750603
US 3974161	A	19760810	US 1974-485945	19740705
CA 1053674	A1	19790501	CA 1975-225936	19750430
GB 1501438	A	19780215	GB 1975-18583	19750502
NO 7501594	A	19760106	NO 1975-1594	19750505
IL 47233	A	19800131	IL 1975-47233	19750505
ZA 7502908	A	19760428	ZA 1975-2908	19750506
AU 7580955	A	19761111	AU 1975-80955	19750508
ES 437966	A2	19770216	ES 1975-437966	19750527
FI 7501628	A	19760106	FI 1975-1628	19750603
FI 59097	B	19810227		
FI 59097	C	19810610		
DK 7502502	A	19760106	DK 1975-2502	19750604
RO 69550	A1	19810830	RO 1975-82428	19750604
NL 7506665	A	19760107	NL 1975-6665	19750605
NL 173270	B	19830801		
NL 173270	C	19840102		
BE 829987	A4	19751208	BE 1975-1006719	19750606
JP 51008280	A	19760123	JP 1975-68456	19750606
FR 2276825	A2	19760130	FR 1975-17789	19750606
FR 2276825	B2	19781110		
AT 351546	B	19790725	AT 1975-4326	19750606
AT 7504326	A	19790115		
CH 619951	A5	19801031	CH 1975-7300	19750606
SE 7504599	A	19760107	SE 1975-4599	19750621
SE 420610	C	19820128		

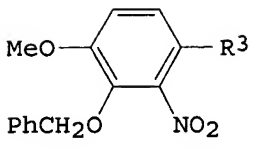
PRIORITY APPLN. INFO.:

US 1974-485945	A	19740705
US 1973-351025	A2	19730413
GB 1973-55900	A	19731203
US 1974-444138	A2	19740220
IL 1974-44569	A	19740404

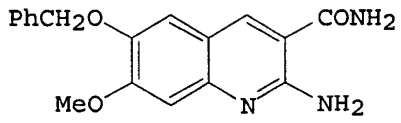
GI



I



II



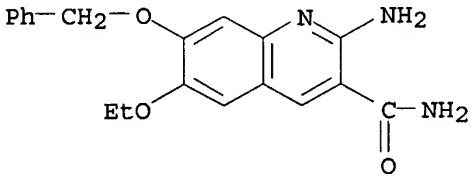
III

AB Pyrimidoquinolinones I (R = CO2Et, CO2Bu, CO2H, Me, Ac; R1 = OCH2Ph, OEt, OMe, OH, OAc; R2 = H, OMe, OEt, OCH2Ph, OH) (16 compds.) were prepared II (R3 = CHO) was treated with NCCH2CONH2, II [R3 = CH:C(CN)CONH2] reduced, III condensed EtO2CCO2Et, and I (R = CO2Et, R1 = OCH2Ph, R2 = OMe) debenzylated. I (R = CO2Et, R1 = OH, R2 = OMe) thus obtained at 0.0003 mg/kg i.v. gave 38% inhibition in passive cutaneous anaphylaxis test.

IT 55149-57-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and condensation of, with oxalate)

RN 55149-57-0 CA

CN 3-Quinolinecarboxamide, 2-amino-6-ethoxy-7-(phenylmethoxy)- (CA INDEX NAME)



L4 ANSWER 22 OF 23 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 82:73015 CA
ORIGINAL REFERENCE NO.: 82:11675a,11678a
TITLE: Molten pyrimidines
INVENTOR(S): Althuis, Thomas H.; Czuba, Leonard J.; Hess, Hans J. E.; Kadin, Saul B.
PATENT ASSIGNEE(S): Pfizer, Chas., and Co., Inc.
SOURCE: Ger. Offen., 62 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2418498	A1	19741107	DE 1974-2418498	19740411
GB 1458205	A	19761208	GB 1973-55900	19731203
AU 7467500	A	19751009	AU 1974-67500	19740403
NO 139735	C	19790502	NO 1974-1231	19740404
NO 139735	B	19790122		
IL 44569	A	19790531	IL 1974-44569	19740404
NL 7404894	A	19741015	NL 1974-4894	19740410
NL 170285	B	19820517		
NL 170285	C	19821018		
ES 425238	A1	19760516	ES 1974-425238	19740410
FI 55658	C	19790910	FI 1974-1095	19740410
FI 55658	B	19790531		
DK 142621	B	19801201	DK 1974-2009	19740410
DK 142621	C	19810803		
BE 813571	A1	19741011	BE 1974-1005874	19740411
DD 111207	A5	19750205	DD 1974-177859	19740411
ZA 7402351	A	19750430	ZA 1974-2351	19740411
CH 619950	A5	19801031	CH 1974-5110	19740411
FR 2225166	A1	19741108	FR 1974-13092	19740412
JP 50018481	A	19750226	JP 1974-41582	19740413
RO 64918	A2	19790815	RO 1974-78412	19740413
RO 64918	A1	19800115		
AT 7403151	A	19770815	AT 1974-3151	19740416
GB 1501438	A	19780215	GB 1975-18583	19750502
AT 7607580	A	19770715	AT 1976-7580	19761012
AT 7607579	A	19771115	AT 1976-7579	19761012
DK 7703873	A	19770831	DK 1977-3873	19770831

PRIORITY APPLN. INFO.:

US 1973-351025	A	19730413
GB 1973-55900	A	19731203
DK 1974-2009	A	19740410
AT 1974-3151	A	19740416
US 1974-485945	A	19740705

GI For diagram(s), see printed CA Issue.

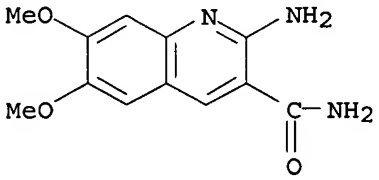
AB Twenty-seven pyrimidoquinolines I [R = Me, Et, Ac, CO2R7 (R7 = H, Na, Et, Bu, CH2CH2OH), CONH2, CONHOH; R1 = H, Ph; R2 = H, Cl, MeO; R3 = H, MeO, F, Cl, EtO, MeS, MeS(O); R4 = H, MeO, EtO, BuO, PhCH2O, F; R3R4 = OCH2O, OCH2CH2O; R5 = H, MeO; R6 = Me, CH2CO2Me, (CH2)3CO2Et, (CH2)2OAc], Et benzo[g]quinazolin-4(3H)-one-2-carboxylate, Et pyrido[2,3-d]pyrimidin-4(3H)-one-2-carboxylate, and 2-ethylpyrimido[2,3-d]pyrimidin-4(3H)-one, useful as inhibitors of bronchial asthma, were prepared: a) by condensation of cyanoacetamide with a nitrobenzaldehyde to give acrylamide II which was cyclized with powdered Fe in AcOH or AcOH-DMF to aminoquino-linecarboxamide III. Refluxing III with an oxalate ester and aromatic hydrocarbon gave I. b) Cyanoacetamide condensed with an aminobenzaldehyde gave III directly.

Many of the compds. prepared had 100% antiallergic activity at 1-10 mg/kg (average of 8 animals) i.v.

IT 55149-43-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and cyclization with diethyl oxalate)

RN 55149-43-4 CA

CN 3-Quinolinecarboxamide, 2-amino-6,7-dimethoxy- (CA INDEX NAME)



L4 ANSWER 23 OF 23 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 50:16402 CA
ORIGINAL REFERENCE NO.: 50:3443h-i,3444a-h
TITLE: Synthesis of fused heterocyclics
AUTHOR(S): Somasekhara, I. S.; Phadke, Ragini
CORPORATE SOURCE: Indian Inst. Sci., Bangalore
SOURCE: Journal of the Indian Institute of Science (1955), 37A, 120-9
CODEN: JIISAD; ISSN: 0019-4964
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

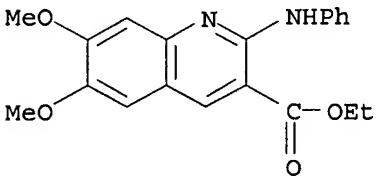
AB Dihydronaphthinoline (I) was prepared by refluxing 150 mg. tetrahydronaphthinoline (II) [Reissert, Ber. 27, 2244(1894)] and 360 mg. chloranil in 30 ml. xylene for 4 hrs. The red precipitate dissolved in Et2O was extracted 3 times with 10% NaOH to remove tetrachlorohydroquinone, dried over anhydrous Na2SO4, passed through a column of alumina, gave 2 bands under ultraviolet light. The first gave 30 mg. II and the second gave 70 mg. I, m. 200° (from EtOH). I was also obtained in 70 mg. yield by heating a mixture of 100 mg. II and 400 mg. Se at 280-300° for 15 hrs. The solid, treated as above, gave the identical product. 4'-Hydroxyquinolino(2,3,2',3')quinoline (III) was obtained, when 250 mg. II, aqueous Na2Cr2O7 (1.2 g. in 50 ml. H2O), and 2 ml. concentrated H2SO4 were refluxed for 8 hrs. The precipitate, in 100 ml. H2O, made alkaline with 20 ml. aqueous NH3, neutralized with AcOH and extracted with CHCl3, yielded a yellow solid, bright yellow needles on sublimation, m. 280° (with charring), soluble in AcOH, alc. solution bright yellow with green fluorescence, red on addition of alkali. Di-Et (6-nitroveratrylidene)malonate (IV) was obtained in 10 g. yield when a mixture of 10 g. 6-nitroveratraldehyde (V), 1.8 moles CH2(CO2Et)2, 6 ml. piperidine, and 8 ml. pyridine after 7 days at room temperature, added to 50 g. ice containing 10 ml. concentrated HCl; gummy mass recrystd. from EtOH gave yellow needles, m. 118-20°, soluble in Me2CO, EtOH, and AcOEt. IV, dissolved in 50 ml. cold EtOH and 20 ml. aqueous NH2, H2S added for 5 hrs. (40 ml. NH3 added during the reaction), the solid washed with H2O and EtOH, dried, yielded 0.3 g. Et 6,7-dimethoxy-2-hydroxyquinoline-3-carboxylate (VI), m. 270-71°, alc. solution gave blue fluorescence. VI, saponified with 10% aqueous KOH for 2 hrs., filtered and acidified with AcOH, cooled, gave 6,7-dimethoxy-2-hydroxyquinoline-3-carboxylic acid (VII), m. 320° (decompose) (from AcOH), blue fluorescence in EtOH. VII sublimed twice at 7 mm. and 400° for 20 min. gave a sublimate, m. 235°; fractionally crystallized from EtOH, the less soluble VII crystallized first, and 6,7-dimethoxy-2-hydroxyquinoline (VIII), m. 230°, from the mother liquor. VI (0.7 g.) refluxed with 5 ml. POCl3 for 30 min., excess POCl3 removed under reduced pressure, the solid residue heated with 1.5 ml. distilled PhNH2 at 140° for 2 hrs. yielded 0.6 g. 6,7-dimethoxy-2-hydroxyquinoline-3-carboxylic acid anilide (IX), yellow needles, m. 360-51° (from AcOH), stable to alkaline hydrolysis, alc. solution gave blue fluorescence on addition of alkali. Et 6,7-dimethoxy-2-anilinoquinoline-3-carboxylate (X) was obtained in 0.5 g. yield by heating 0.5 g. VI and 1 ml. POCl3 heated at 100° for 30 min., excess POCl3 removed, 0.5 ml. PhNH2 added and again heated at 100° for 30 min.; the solid, taken up in 5 ml. hot AcOH, cooled, neutralized with NH3, gave a yellow solid, m.p. 167° (from dilute AcOH). X saponified with alc. KOH (5%) for 3 hrs. gave after distilling off EtOH, 0.4 g. 6,7-dimethoxy-2-anilinoquinoline-3-carboxylic acid (XI), m. 244-45° (from dilute AcOH). X (0.2 g.) treated with POCl3 (1.5 ml.) at 100° for 30 min., cooled, 10 ml. H2O added, refluxed, yielded

0.10 g. 4'-hydroxy-6,7-dimethoxyquinolino(2,3,2',3')quinoline (XII), m. 290° (from dilute AcOH), alc. solution deep yellow with green fluorescence changing to red on addition of alkali. V (6 g.), $CH_2(CO_2H)_2$ (6 g.), piperidine (2 ml.), and pyridine (10 ml.) heated at 100° for 4 hrs., treated with cold dilute HCl gave 4.6 g. 6-nitro-3,4-dimethoxycinnamic acid (XIII), m. 285° (from AcOH). XIII (4.6 g.) in 350 ml. absolute EtOH and 15 ml. concentrated H_2SO_4 refluxed for 4 hrs., the EtOH distilled off, the residue washed with dilute NH_3, gave Et 6-nitro-3,4-dimethoxycinnamate (XIV), m. 148° (from EtOH). XIV (1 g.) in EtOH-AcOEt mixture (10:15), aqueous $FeSO_4$ (10 g. in 30 ml. H_2O) and 20 ml. liquid NH_3 added, refluxed for 30 min. on water bath, filtered, the filtrate diluted and extracted with AcOEt, the extract dried over $MgSO_4$, AcOEt removed, gave 0.3 g. Et 6-amino-3,4-dimethoxycinnamate (XV), m. 92° (from Et_2O-petr. ether). 6,7-Dimethoxy-2-hydroxyquinoline (XVI) was prepared through 3 different procedures: (a) 0.1 g. XV dissolved in 10 ml. boiling concentrated HCl, the acid evaporated off on a water bath, the residue treated with aqueous NH_4OAc, gave a few mg. of XVI, m. 225°; (b) XIV (1 g.) refluxed for 2 hrs. with 5 g. Zn dust and 100 ml. 5% AcOH, filtered hot, cooled, the filtrate extracted with Et_2O, let stand overnight, gave 0.2 g. XVI, m. 229° (from Me_2CO); (c) a trace yield according to Kefford (C.A. 34, 7918.1).

IT 860205-98-7P, 3-Quinolinecarboxylic acid, 2-anilino-6,7-dimethoxy-, ethyl ester
RL: PREP (Preparation)
(preparation of)

RN 860205-98-7 CA

CN 3-Quinolinecarboxylic acid, 2-anilino-6,7-dimethoxy-, ethyl ester (5CI) (CA INDEX NAME)



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L2           13 S L1 SAM
L3          208 S L1 FULL

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L4           23 S L3

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---Logging off of STN---


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STN INTERNATIONAL LOGOFF AT 11:04:46 ON 18 DEC 2007
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